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SEARCH REQUEST FORM

71263

Art Unit: 1653

Requester's Full Name: 77010 Phone (300) 3213

Serial Number: 09/594 97

Results Format Preferred (circle) PAPER

DISK E-MAIL

<u>Title of Invention</u>: Dipeptide inhibitors of beta-secretase

<u>Applicants</u>: JOHN, VARGHESE; TUNG, JAY; HOM, ROY; GUINN, ASHLEY.; FANG, LAWRENCE; GAILUNAS, ANDREA; MAMO, SHUMEYE S.

Earliest Priority Date: 6/15/99

Applicants are claiming the compounds below

["φ" represents phenyl; "chxl" represents cyclohexyl]

JUN 21 2887

 $R1 = \phi$ -CO- or benzyl

01

R2 = alkyl or benzyl

R3 = alkyl

R4 is any of the following:

-OH or -OCH₃ or -OC₂H₅

or NH- φ or NH-CH₂- φ or -O- φ or -OCH₂- φ or -O-CH₂-CH₂- φ

or -HN-chxl or -O-chxl

or -N

STAFF USE ONLY	Type of Search	Vendors and Cost		
Searcher:	NA Sequence (#)	STNDialog		
Searcher Phone #:	AA Sequence (#)	Questel/Orbit Dr.Link		
Searcher Location:	Structure (%)	Lexis/NexisWestlaw		
Date Searcher Picked Up:	Bibliographic	WWW/Internet		
Date Completed: 405/02	Litigation	In-house sequence systems (list)		
Searcher Prep & Review Time:	Pulltent	Other (specify)		
Odline Time:	Other	, .		

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 20:11:00 ON 21 JUN 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 21 Jun 2002 VOL 136 ISS 25 FILE LAST UPDATED: 19 Jun 2002 (20020619/ED)

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VAR G1=33/58/35 VAR G4=OH/MEO/ETO/55/57/49 REP G5=(0-1) CH2 REP G6=(0-2) C

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NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 57

STEREO ATTRIBUTES: NONE

L14 2 SEA FILE=REGISTRY SSS FUL L12

L15 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L14

=>

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=> d ibib abs hitrn 115 1

L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1991:559804 HCAPLUS

DOCUMENT NUMBER: 115:159804

TITLE: Preparation of 4-amino-3-hydroxybutyric acid

residue-containing peptides as renin inhibitors

INVENTOR(S): Bender, Wolfgang; Kinast, Guenther; Knorr, Andreas;

Stasch, Johannes Peter

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 116 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 412350	A2	19910213	EP 1990-114115	19900724
EP 412350	A3	19920325		
R: AT, BE,	CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE
DE 4004820	A1	19910425	DE 1990-4004820	19900216
US 5095006	Α	19920310	US 1990-553493	19900713
CA 2022692	AA	19910206	CA 1990-2022692	19900803
AU 9060193	A1	19910207	AU 1990-60193	19900803
HU 54386	A2	19910228	HU 1990-4886	19900803
JP 03081256	A2	19910405	JP 1990-206686	19900803
DD 299191	A5	19920402	DD 1990-343239	19900803
ZA 9006125	A	19920826	ZA 1990-6125	19900803
US 5242903	A	19930907	US 1991-771077	19911002
PRIORITY APPLN. INFO	.:		DE 1989-3926021	19890805
			DE 1990-4004820	19900216
			US 1990-553493	19900713

OTHER SOURCE(S): MARPAT 115:159804

GΙ

AB X-A-B-D-E-CO-CH[(CH2)mR1]CH(OH)-L [I; X = EtOCOCHPhO, Q, OH, alkoxy,
PhCH2O, (substituted) amino, etc.; A, B, D, E = bond, retro-amino acid
residue, e.g., retro-D-Phe; etc.; m = 0, 1, 2; L = (substituted)
aminomethyl, (N-substituted) pyrrolidin-2-yl; R1 = (cyclo)alkyl,
(substituted) aryl] were prepd. E.g., PhCH2CH2CO2CH2Ph (prepn. given) in
THF contg. (Me2CH)2NH and BuLi was treated with OCHCH2Q1 [Q1 =
phthalimido] 60 min at -70.degree. to give, after work-up, I [X = PhCH2O,
R1 = Ph, m = 1, L = CH2Q1, A = B = D = E = bond]. I [X = PhCH2O, R1 = Ph,
m = 1, L = CH2Q1, A = retro-D-Phe, B = retro-D-His, D = E = bond] (prepn.
given) at 0.05 mg/mL showed 100% inhibition of plasma renin. The IC50
values of I ranged from 10-4 to 10-9M.

IT 136158-92-4P 136158-93-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of, as renin inhibitor)

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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STRUCTURE FILE UPDATES: 19 JUN 2002 HIGHEST RN 432491-02-6 DICTIONARY FILE UPDATES: 19 JUN 2002 HIGHEST RN 432491-02-6

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Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L14 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2002 ACS

RN 136158-93-5 REGISTRY

CN D-Histidine, N-[4-[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-3-hydroxy-1-oxo-2-(phenylmethyl)butyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C29 H36 N4 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:159804

L14 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2002 ACS

RN 136158-92-4 REGISTRY

CN D-Histidine, N-[4-[[(1,1-dimethylethoxy)carbonyl](phenylmethyl)amino]-3-hydroxy-1-oxo-2-(phenylmethyl)butyl]-, methyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C30 H38 N4 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:159804